

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
2 October 2003 (02.10.2003)

PCT

(10) International Publication Number  
**WO 03/080608 A2**

(51) International Patent Classification<sup>7</sup>: **C07D 471/04**,  
A61K 31/395, A61P 25/00

(21) International Application Number: PCT/EP03/03195

(22) International Filing Date: 25 March 2003 (25.03.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

0207278.3	27 March 2002 (27.03.2002)	GB
0207281.7	27 March 2002 (27.03.2002)	GB
0207275.9	27 March 2002 (27.03.2002)	GB
0207282.5	27 March 2002 (27.03.2002)	GB

(71) Applicant (for all designated States except US): **GLAXO GROUP LIMITED** [GB/GB]; Glaxo Wellcome House, Berkeley Avenue, Greenford, Middlesex UB6 ONN (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **JOHN CHRISTOPHER, Norbert** [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB). **MACDONALD, Gregor, James** [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB). **MITCHELL, Darren, Jason** [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB). **MOSS, Stephen, Frederick** [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19

5AW (GB). **THOMPSON, Mervyn** [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB). **WITTY, David** [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB).

(74) Agent: **HOCKLEY, Sian, Catherine**; GlaxoSmithKline, CN925.1, 980 Great West Road, Brentford, Middlesex TW8 9GS (GB).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), PATCOG patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), EPO patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, FR, GR, HU, IE, IT, LU, MC, NL, PT, RO, SI, SK, ES, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Published:**

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL COMPOUNDS

(57) Abstract: The present invention relates to novel quinoline and aza indole compounds having pharmacological activity, processes for their preparation, to compositions containing them and to their use in the treatment of CNS and other disorders.

WO 03/080608 A2

## (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
2 October 2003 (02.10.2003)

PCT

(10) International Publication Number  
**WO 2003/080608 A3**

(51) International Patent Classification<sup>7</sup>: **C07D 471/04**,  
A61K 31/395, A61P 25/00, C07D 215/46, 215/40

(21) International Application Number:  
PCT/EP2003/003195

(22) International Filing Date: 25 March 2003 (25.03.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0207278.3 27 March 2002 (27.03.2002) GB  
0207281.7 27 March 2002 (27.03.2002) GB  
0207275.9 27 March 2002 (27.03.2002) GB  
0207282.5 27 March 2002 (27.03.2002) GB

(71) Applicant (for all designated States except US): **GLAXO GROUP LIMITED** [GB/GB]; Glaxo Wellcome Healthcare, Berkeley Avenue, Greenford, Middlesex UB6 0NH, United Kingdom

(72) Inventors; and

(75) Inventors/Applicants (for US only): **JOHN, Christopher**, Norbert [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB). **MACDONALD, Gregor, James** [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB). **MITCHELL, Darren, Jason** [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB). **MOSS, Stephen, Frederick** [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB).

5AW (GB). **THOMPSON, Mervyn** [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB). **WITTY, David** [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB).

(74) Agent: **HOCKLEY, Sian, Catherine**; GlaxoSmithKline, CN925.1, 980 Great West Road, Brentford, Middlesex TW8 9GS (GB).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), EPO patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), OAPI patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

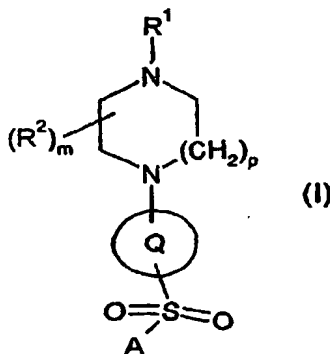
Published:

— with international search report

(88) Date of publication of the international search report:  
5 February 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: QUINOLINE AND AZA-INDOLE DERIVATIVES AND THEIR USE AS 5-HT<sub>6</sub> LIGANDS



(57) Abstract: The present invention relates to quinoline and aza indole compounds of formula (I) or a pharmaceutically acceptable salt thereof having pharmacological activity, processes for their preparation, to compositions containing them and to their use in the treatment of CNS and other disorders.

## INTERNATIONAL SEARCH REPORT

Int. Application No  
PCT/L 33/03195

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D471/04 A61K31/395 A61P25/00 C07D215/46 C07D215/40

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 99 65906 A (ALLELIX BIOPHARMACEUTICALS INC.) 23 December 1999 (1999-12-23) cited in the application claims	1,3,4
A	WO 01 12629 A (NPS ALLELIX CORP.) 22 February 2001 (2001-02-22) claims	1,3,4

☐ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

## \* Special categories of cited documents :

\*A\* document defining the general state of the art which is not considered to be of particular relevance

\*E\* earlier document but published on or after the international filing date

\*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

\*O\* document referring to an oral disclosure, use, exhibition or other means

\*P\* document published prior to the international filing date but later than the priority date claimed

\*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

\*Z\* document member of the same patent family

Date of the actual completion of the international search

29 October 2003

Date of mailing of the international search report

12/11/2003

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Van Bijlen, H

## INTERNATIONAL SEARCH REPORT

Information on patent family members

Inventor's Application No.

PCT/JP 03/03195

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9965906	A	23-12-1999	US 6251893 B1	26-06-2001
			AT 251163 T	15-10-2003
			AU 765256 B2	11-09-2003
			AU 4253199 A	05-01-2000
			CA 2335285 A1	23-12-1999
			WO 9965906 A1	23-12-1999
			EP 1105393 A1	13-06-2001
			JP 2003523922 T	12-08-2003
<hr/>				
WO 0112629	A	22-02-2001	AU 6310300 A	13-03-2001
			CA 2382247 A1	22-02-2001
			EP 1204662 A1	15-05-2002
			WO 0112629 A1	22-02-2001
			JP 2003507380 T	25-02-2003
<hr/>				